

## PATENT COOPERATION TREATY

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## INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference 7564/6B	<b>FOR FURTHER ACTION</b> See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)	
International application No. PCT/US00/13417	International filing date (day/month/year) 16/05/2000	Priority date (day/month/year) 19/05/1999
International Patent Classification (IPC) or national classification and IPC C07D471/04		
Applicant THE PROCTER & GAMBLE COMPANY et al.		

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.



2. This REPORT consists of a total of 5 sheets, including this cover sheet.

- ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☐ Priority
- III ☐ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☐ Certain documents cited
- VII ☒ Certain defects in the international application
- VIII ☐ Certain observations on the international application

Date of submission of the demand  13/11/2000	Date of completion of this report  20.12.2000
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized officer  Samsam Bakhtiary, M  Telephone No. +49 89 2399 8556 

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/US00/13417

## I. Basis of the report

1. This report has been drawn on the basis of *(substitute sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to the report since they do not contain amendments (Rules 70.16 and 70.17).):*

### Description, pages:

1-30 as originally filed

### Claims, No.:

1-10 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- ☐ the language of publication of the international application (under Rule 48.3(b)).
- ☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
- ☐ filed together with the international application in computer readable form.
- ☐ furnished subsequently to this Authority in written form.
- ☐ furnished subsequently to this Authority in computer readable form.
- ☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- ☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
- ☐ the claims, Nos.:
- ☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

# INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/US00/13417

*(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)*

6. Additional observations, if necessary:

## V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

### 1. Statement

Novelty (N)	Yes:	Claims	1-10
	No:	Claims	
Inventive step (IS)	Yes:	Claims	1-10
	No:	Claims	
Industrial applicability (IA)	Yes:	Claims	1-10
	No:	Claims	

2. Citations and explanations  
**see separate sheet**

## VII. Certain defects in the international application

The following defects in the form or contents of the international application have been noted:  
**see separate sheet**

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT - SEPARATE SHEET**

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International application No. PCT/US00/13417

**R It m V**

**Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

1. Reference is made to the following document:

D1: MOLINA P, ET AL: 'An anomalous intramolecular conjugate addition of N-protected imidazoles to vinyliminophosphoranes promoted by tetrabutylammonium fluoride. X-Ray crystal structure of 5-ethoxycarbonyl-5-(triphenylphosphoranyli deneamino)-5,6-dihydroimidazo[2,1-a]isoquinoline' TETRAHEDRON., vol. 52, no. 43, 1996, pages 13671-13680, XP002149340 ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM., NL ISSN: 0040-4020

2. **Novelty** (article 33.2 PCT)

The claimed process of this application is essentially concerned with a cyclisation of imidazo derivatives.

Document D1, which is considered to represent the most relevant state of the art, discloses (cf. page 13674, scheme 2, step iii) a cyclisation process from which the subject-matter of claim 1 in that the end chain of the starting compound (feature c) is different:

-D1; (c) = vinyliminophosphorane moiety;  
-appl.; (c) = OH, COOH, Hal

The requirements of article 33.2 PCT are fulfilled.

3. **Inventive step** (article 33.3 PCT)

The problem to be solved by the present application may therefore be regarded as to provide an alternative to make imidazo derivatives.

**INTERNATIONAL PRELIMINARY  
EXAMINATION REPORT - SEPARATE SHEET**

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International application No. PCT/US00/13417

In view of the fact that the end chain of the cyclisable starting compound seems to have a great influence on the reactivity of cyclisation process, the man skilled in the art would derive obviously to the claimed subject matter of this application from the technical teachings of the prior art namely D1.

The requirements of article 33.3 PCT are fulfilled.

**Re Item VII**

**Certain defects in the international application**

1. Contrary to the requirements of Rule 5.1(a)(ii) PCT, the relevant background art disclosed in the document D1 is not mentioned in the description, nor is this document identified therein.
2. The embodiment of the invention described on page 3, lines 2-3 (reference to a combinatorial libraries of compounds) does not fall within the scope of the claims. This inconsistency between the claims and the description leads to doubt concerning the matter for which protection is sought, thereby rendering the claims unclear (Article 6 PCT).

If this application is further proceeded in a european-phase, then the description should be amended accordingly (deletion of the reference to a combinatorial libraries of compounds).

This also goes for the part of the description on page 30, last paragraph.

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WORLD INTELLECTUAL PROPERTY ORGANIZATION  
International Bureau



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification <sup>7</sup> : C07D 471/04, 487/04, C07F 7/10 // A61K 31/5517, 31/437, A61P 9/00, 29/00, (C07D 471/04, 235:00, 221:00) (C07D 487/04, 243:00, 235:00)	A1	(11) International Publication Number: <b>WO 00/69857</b>  (43) International Publication Date: 23 November 2000 (23.11.00)
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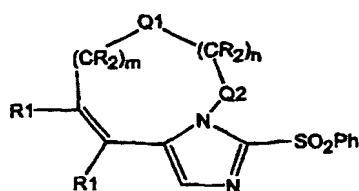
(21) International Application Number: PCT/US00/13417  
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(30) Priority Data: 60/134,829 19 May 1999 (19.05.99) US  
(71) Applicant (for all designated States except US): THE PROCTER & GAMBLE COMPANY [US/US]; One Procter & Gamble Plaza, Cincinnati, OH 45202 (US).  
(72) Inventors; and  
(75) Inventors/Applicants (for US only): LIU, Song [CN/US]; 9338 Ambleside Drive, Cincinnati, OH 45241 (US). LI, Min [US/US]; 7563 Lakota Springs Drive, West Chester, OH 45069 (US).  
(74) Agents: REED, T., David et al.; The Procter & Gamble Company, 5299 Spring Grove Avenue, Cincinnati, OH 45217-1087 (US).

(81) Designated States: AE, AL, AM, AT, AT (Utility model), AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ (Utility model), DE, DE (Utility model), DK, DK (Utility model), DM, EE, EE (Utility model), ES, FI, FI (Utility model), GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK (Utility model), SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, ARIPO patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG).

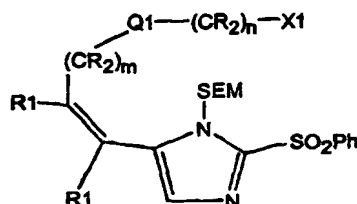
Published

With international search report.  
Before the expiration of the time limit for amending the claims and to be republished in the event of the receipt of amendments.

(54) Title: PROCESS FOR MAKING FUSED-RING IMIDAZO-CONTAINING COMPOUNDS



(1)



(2)

(57) Abstract

The subject invention involves processes for making fused-ring imidazo-containing compounds having structure (1) by obtaining and cyclizing compounds having structure (2) wherein m, n, R, R1, Q1 and Q2 are as defined herein, -X1 is selected from -Cl, -Br, -I, -OH and -COOH, and -SEM is -CH2OCH2CH2-Si(CH3)3.

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DK	Denmark	LR	Liberia	SG	Singapore		
EE	Estonia						

# INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 00/13417

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D471/04 C07D487/04 C07F7/10 //A61K31/5517,A61K31/437,  
A61P9/00,A61P29/00,(C07D471/04,235:00,221:00),(C07D487/04,243:00,  
235:00)

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D C07F

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, CHEM ABS Data, BEILSTEIN Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	MOLINA P, ET AL: "An anomalous intramolecular conjugate addition of N-protected imidazoles to vinyliminophosphoranes promoted by tetrabutylammonium fluoride. X-Ray crystal structure of 5-ethoxycarbonyl-5-(triphenylphosphoranylideneamino)-5,6-dihydroimidazo[2,1-a]isoquinoline" TETRAHEDRON., vol. 52, no. 43, 1996, pages 13671-13680, XP002149340 ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM., NL ISSN: 0040-4020 schemes 1 and 2	1

☐ Further documents are listed in the continuation of box C.

☐ Patent family members are listed in annex.

### \* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search

5 October 2000

Date of mailing of the international search report

20/10/2000

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Alfaro Faus, I